

CLAIMS

1. Pharmaceutical composition comprising

5-[[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-

5-dione or a pharmaceutically acceptable salt thereof,

and optionally a pharmaceutically acceptable carrier.

2. A composition according to claim 1 in the form of a tablet, a powder or a capsule.

10 3. A process for the preparation of a composition according to claim 1 or 2 which comprises the step of forming a mixture of:

5-[[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-

dione or a pharmaceutically acceptable salt thereof,

and one or more pharmaceutically acceptable carriers.

15 4. A process for the preparation of a composition according to claim 1 or 2 which comprises the following steps:

the forming a mixture according to claim 3,

and direct compression of the mixture with excipients of a low water content.

20 5. A process according to claim 3 or 4 characterized in that the steps are carried out at low water vapour pressure and low oxygen pressure.

25 SUB B1 6. A pharmaceutical composition comprising

5-[[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-

dione or a pharmaceutically acceptable salt thereof,

and pharmaceutically acceptable excipients with low water content and an antioxidant.

30 7. The pharmaceutical composition according to claim 6 in the form of a tablet, a powder or a capsule.

8. The pharmaceutical composition according to claim 6 or 7 containing, expressed in parts by weight per 100 parts of 5-[[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, or of one of its pharmaceutically acceptable salts and be-

tween 1 and 100 parts by weight of an antioxidant and the pharmaceutically acceptable excipients selected among the following:

- between 100 and 400,000 parts by weight of anhydrous lactose,
- between 1 and 100 parts by weight of an antioxidant,
- 5 between 50 and 500 parts by weight of pregelatinized starch,
- between 1000 and 10,000 parts by weight of microcrystalline cellulose,
- between 10 and 500 parts by weight of crospovidone,
- between 10 and 500 parts by weight of silicon dioxide,
- between 10 and 500 parts by weight of hydrogenated vegetable oil,
- 10 between 10 and 500 parts by weight of magnesium stearate,
- between 10 and 500 parts by weight of hydroxypropyl methylcellulose,
- between 10 and 500 parts by weight of hydroxypropyl cellulose,
- between 1000 and 10,000 parts by weight of Mannitol,
- between 10 and 500 parts by weight of stearic acid,
- 15 between 10 and 500 parts by weight of Titanium Dioxide.

9. The pharmaceutical composition according to claim 6 or 7 wherein the pharmaceutically acceptable excipients are selected among from the following:

- between 100 and 400,000 parts by weight of anhydrous lactose,
- 20 between 50 and 500 parts by weight of pregelatinized starch,
- between 1000 and 10,000 parts by weight of microcrystalline cellulose,
- between 10 and 500 parts by weight of crospovidone,
- between 10 and 500 parts by weight of silicon dioxide,
- between 10 and 500 parts by weight of hydrogenated vegetable oil,
- 25 between 10 and 500 parts by weight of magnesium stearate,
- between 10 and 500 parts by weight of hydroxypropyl methylcellulose,
- between 10 and 500 parts by weight of hydroxypropyl cellulose,
- between 1000 and 10,000 parts by weight of Mannitol,
- between 10 and 500 parts by weight of stearic acid,
- 30 between 10 and 500 parts by weight of Titanium Dioxide,

expressed in parts by weight per 100 parts of 5-[[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, or of one of its pharmaceutically acceptable salts.

SUB B2

10. The pharmaceutical composition according to claim 6-~~or~~-7 wherein the pharmaceutically acceptable excipients are selected from the following:

lactose and/or cellulose microcrystalline, magnesium stearate or talc.

5 11. The pharmaceutical composition according to claim 6-~~or~~-7 wherein the pharmaceutically acceptable excipients have a low water content.

12. The pharmaceutical composition according to claim 6-~~or~~-7 wherein the pharmaceutically acceptable excipients have a very low water content.

10 13. The pharmaceutical composition according to claim 6-~~or~~-7 wherein the pharmaceutically acceptable excipients are in a dry form.

15 14. The pharmaceutical composition according to claim 6-~~or~~-7 wherein the antioxidant is selected from the following:

α -tocopherol, γ -tocopherol, δ -tocopherol, extracts of natural origin rich in tocopherol, L-ascorbic acid and its sodium or calcium salts, ascorbyl palmitate, propyl gallate (PG), octyl gallate, dodecyl gallate, butylated hydroxy anisole (BHA) or butylated hydroxy toluene (BHT).

20 15. The pharmaceutical composition according to claim 6-~~or~~-7 wherein the antioxidant is α -tocopherol.

25 *SB 23* 16. The pharmaceutical composition according to claim 1,2,6-~~or~~-7 associated with at least one customary additive selected from among the sweeteners, flavouring agents, colours and lubricants.

17. A process for the preparation of a composition according to claim 6-~~or~~-7 which comprises the step of forming a mixture of:

30 5-[[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable excipients and an antioxidant.

18. A process for the preparation of a composition according to claim 6-~~or~~-7 which comprises the following steps:

forming ~~the~~ mixture according to claim 17, and direct compression of the mixture.

19. A process according to claim 17 or 18 characterized in that the steps are carried out at low water vapour pressure and low oxygen pressure.

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20. The pharmaceutical composition according to anyone of the preceding claims comprising the following:

5-[[4-[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt	9%
Cellulose Microcrystalline	20%
Lactose	66%
Magnesium Stearate	0.5%
Talc	4.5%.

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21. The pharmaceutical composition according to anyone of the preceding claims comprising the following:

5-[[4-[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt	18%
Cellulose Microcrystalline	20%
Mannitol	57%
Magnesium Stearate	0.5%
Talc	4.5%.

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22. The pharmaceutical composition according to anyone of the preceding claims comprising the following:

5-[[4-[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt	18%
Lactose	81.5%
Magnesium stearate	0.5%.

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23. The pharmaceutical composition according to anyone of the preceding claims comprising the following:

5-[[4-[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt	0.09%
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Mannitol 98%
 Magnesium stearate 2%.

24. The pharmaceutical composition according to anyone of the preceding claims comprising
 the following:

5-[[4-[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt 0.09%
 Hydrogenated vegetable oil 6.25%
 Talc 5%
 10 α -tocopherol 50% of 5-[[4-[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt
 Lactose DCL21/Mannitol Up to 200 g.

25. The pharmaceutical composition according to anyone of the preceding claims comprising
 the following:

5-[[4-[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt 0.09%
 Povidone 7.5%
 Hydroxypropylmethyl cellulose 1.5%
 20 Croscarmelose sodium 1.56%
 Talc 1.1%
 Magnesium stearate 0.5%
 Lactose 300 mesh up to 200 g.

25 26. The pharmaceutical composition according to anyone of the preceding claims comprising
 the following:

5-[[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt 0.1096 g
 Mannitol 2.5 g
 30 Hydroxypropyl- β -cyclodextrin 10 g
 and diluted with 92 mL water before use.

27. The pharmaceutical composition according to anyone of the preceding claims comprising
 the following:

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5-[4-[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl-methyl]thiadiazolidine-2,4-dione, potassium salt	1.096 g
Mannitol	2.5 g
Hydroxypropyl- β -cyclodextrin	10 g
5 Sodium Carbonate, anhydrous, Na_2CO_3	15 mg
and diluted with 92 mL water before use.	

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